RO 80,056

Translated from Romanian by the Ralph McElroy Co., Custom Division
P.O. Box 4828, Austin, Texas 78765 USA

Code: 1505-59939

SOCIALIST REPUBLIC OF ROMANIA
NATIONAL COMMITTEE FOR SCIENCE AND TECHNOLOGY
STATE OFFICE FOR INVENTIONS AND TRADEMARKS
SPECIFICATION OF INVENTION 80056

Group:	4
Int. Cl^3.:	A 61 K 9/20
Application No:	100087
Application Date:	February 5, 1980
Publication Date:	October 30, 1982

PROCESS FOR CREATING TABLETS OF MAGNESIUM AND SODIUM ALUMINOSILICATES

Applicants:
Dr. Nicolae Oita
Dr. Longinus Enescu
Dr. Carol Stanciu
Iasi
Stefan Grigorescu
Dr. Dumitru Rosca
Bucharest

Inventors:
Nicolae Oita
Dr. Longinus Enescu
Dr. Carol Stanciu
Stefan Grigorescu
Dr. Dumitru Rosca

Holder: "Biofarm" Drug Company, Bucharest

This invention pertains to a process for creating sodium and magnesium aluminosilicates in the form of tablets with complex antiulcer action, and which offers protection to the gastric and intestinal mucosa.

There are known processes for obtaining antiulcer drugs using sodium and magnesium aluminosilicates which are plasticized hot with polyols, such as sorbitol (Romanian Patent Nos. 59608, 76107).

Other processes use a thixotropic suspension of atomized colloidal bentonite, associated with other active components (Romanian Patent No. 62728).

This invention enriches the range of processes for obtaining antiulcer drugs in that, in order to obtain good tolerance by the gastric mucosa by the formation of an adherent film which is stable to the action of hydrochloric acid, it provides for the creation of a coacervate in an alcoholic suspension of colloidal bentonite 3:10 with a 10% aqueous solution of gelatin in a 20:1 ratio of bentonite combination with gelatin. After agitation, vacuum filtration, and drying of the coacervate at 40°C under a vacuum, the resulting granules are mixed with magnesium carbonate and magnesium oxide, sodium citrate, belladonna extract, lactose, and powdered milk. The resulting powder is then granulated with alcohol and mixed with magnesium stearate, pressed into briquettes, and finally formed into tablets.

Below we give an example of the embodiment of the invention.

A quantity of 300 g of colloidal bentonite is suspended under agitation in 1000 mL of alcohol. A quantity of 15 g of

gelatin is soaked in a water bath with 150 mL of distilled water. The gelatin solution is poured in a thin stream and under agitation into the bentonite suspension until it is completely homogenized. Agitation is continued for an additional 30 min, and it is filtered under a vacuum. The filtered preparation is washed with another 1-2 portions of alcohol and it is dried at 40°C under a vacuum. The resulting granules are mixed with 80 g of magnesium oxide, 120 g of magnesium carbonate, 80 g of sorbitol, 40 g of glycocoll, 0.50 g of saccharin, 20 g of sodium citrate, 2 g of belladonna extract, 34 g of lactose, and 300 g of powdered milk. A powder is made by a known method, and then it is granulated using as the liquid 300 mL of alcohol in which 0.50 g of vanillin has been dissolved. The granulates are obtained by sieving and drying. We then add 20 g of magnesium stearate and form into briquettes. It is then formed into tablets, and yields 1000.

Instead of the belladonna extract, it is also possible to use another parasympathicolytic agent, such as N-butylscopolamine or Pro-Banthine, with or without the addition of anesthetics such as xylene or benzocaine.

In contact with gastric juices, a colloidal gel is formed, which assures good protection in the form of a film which is adherent and stable to the action of hydrochloric acid.

The association of the glycocol and the sorbitol improves the gel state of the bentonite and the mucosal tolerance and improves the trophicity of the gastric mucosa.

The magnesium carbonate and oxide and the sodium citrate contribute to the stabilization of the gel, and at the same time, increase the antacid and neutralizing capacity of the bentonite as much as possible to be still consistent with normal digestion.

The addition of the skim milk products also improves the stability of the gel and, together with the gelatin, glycocol, aluminum ions, and bentonite content assures renewal of the damaged mucosa.

The association of parasympathicolytic agents, preferably N-butylscopolamine, belladonna extract, or Pro-Banthine with or without the addition of anesthetic (xylene, benzocaine, etc.) causes the subjective symptom of pain to disappear more quickly.

The advantages of the process according to the invention are as follows:

-the dressing created on the mucosa isolates it from the aggressive action of the hydrochloric acid and the digestive enzymes;

-the protective effect is long-lasting (8-12 h), and the gel state confers a calming effect, which improves the effectiveness of the product on the subjective symptoms;

-the action of the preparation is manifested after the first administration as improvement and disappearance of the subjective symptoms after 1-3 days, contributing to cicatrization of lesions after 10-18 days;

-it does not change intestinal transit time and is radiotransparent;

-the action of the glycol and the sorbitol in regulating the digestive functions and the intestinal transit, together with the magnesium ions, means that the drug will have a protective effect on the entire affected digestive tract;

-it is not toxic and can be administered for long periods of time in chronic conditions;

-it is indicated in esophagitis and in ulcerous, drug-induced, toxic, and infectious gastritis, as well as dyspepsia, gastroduodenal ulcer, and hiatal hernia;

-it offers gastric protection against drug-induced digestive disturbances (tuberculostatic, anti-inflammatory, analgesic);

-it absorbs toxins and gases produced in colon disease, colitis, sigmoiditis, proctitis, and diarrhea in adults.

Claim

A process for creating tablets of magnesium and sodium aluminosilicates, characterized in that, to obtain good tolerance in the gastric mucosa by formation of a film which is adherent and stable to the action of hydrochloric acid, it provides for the production of a coacervate from an alcoholic suspension of colloidal bentonite 3:10 with a 10% aqueous solution of gelatin in a 20:1 ratio of association of bentonite with gelatin, under agitation, followed by vacuum filtration and drying of the coacervate at 40°C under a vacuum; the granules obtained are mixed with magnesium carbonate and magnesium oxide, sodium citrate, belladonna extract, lactose, and powdered milk; the resulting powder is then granulated with alcohol and then mixed with magnesium stearate, formed into briquettes, and finally into tablets.

President of invention committee: Aurica Coraci
Examiner: Cristina Marin